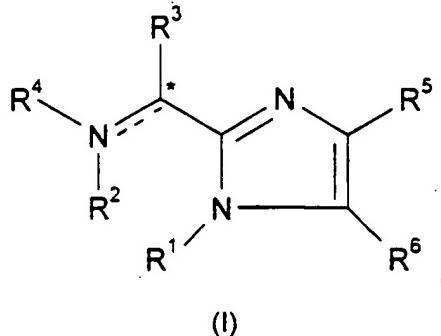


CLAIMS

What is claimed is:

1. A compound of the formula (I),



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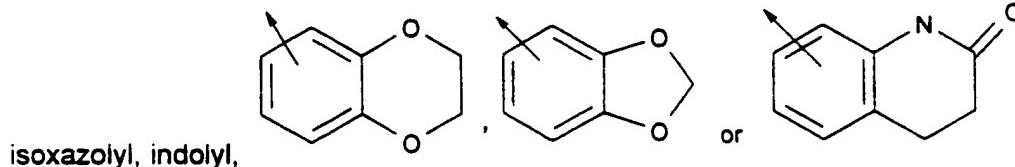
the racemic-diastereomeric mixtures and optical isomers of said compound of formula (I), the pharmaceutically-acceptable salts and prodrugs thereof or a pharmaceutically acceptable salt thereof,

10 wherein

----- represents an optional bond;

R¹ is H, -(CH₂)_m-C(O)-(CH₂)_n-Z¹, -(CH₂)_m-Z¹, -(CH₂)_m-O-Z¹ or -(C₀-C₆)alkyl-C(O)-NH-(CH₂)_m-Z³;

15 Z¹ is an optionally substituted moiety selected from the group consisting of (C₁-C₁₂)alkyl, benzo[b]thiophene, phenyl, naphthyl, benzo[b]furanyl, thiophene,

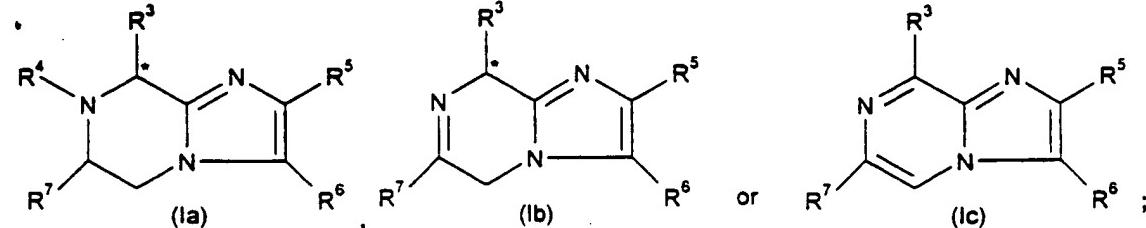


isoxazolyl, indolyl,

R² is H or (C₁-C₆)alkyl;

or R¹ and R² are taken together with the nitrogen atoms to which they are attached to form a compound of formula (Ia), (Ib) or (Ic),

20



R³ is -(CH₂)_m-E-(CH₂)_n-Z²;

E is O, S, -C(O)-, -C(O)-O-, -NH-C(O)-O- or a bond;

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Z^2 is H, (C_1 - C_{12})alkyl, amino, (C_1 - C_{12})alkylamino, N,N-di-(C_1 - C_{12})alkylamino, (C_1 - C_{12})alkylguanidino, or an optionally substituted moiety selected from the group consisting of phenyl, indolyl, imidazolyl, thiophene, benzothiophene, pyridinyl and naphthyl;

5 R^4 is H or $-(CH_2)_m-A^1$;

A^1 is $-C(=Y)-N(X^1X^2)$, $-C(=Y)-X^2$, $-C(=NH)-X^2$ or X^2 ;

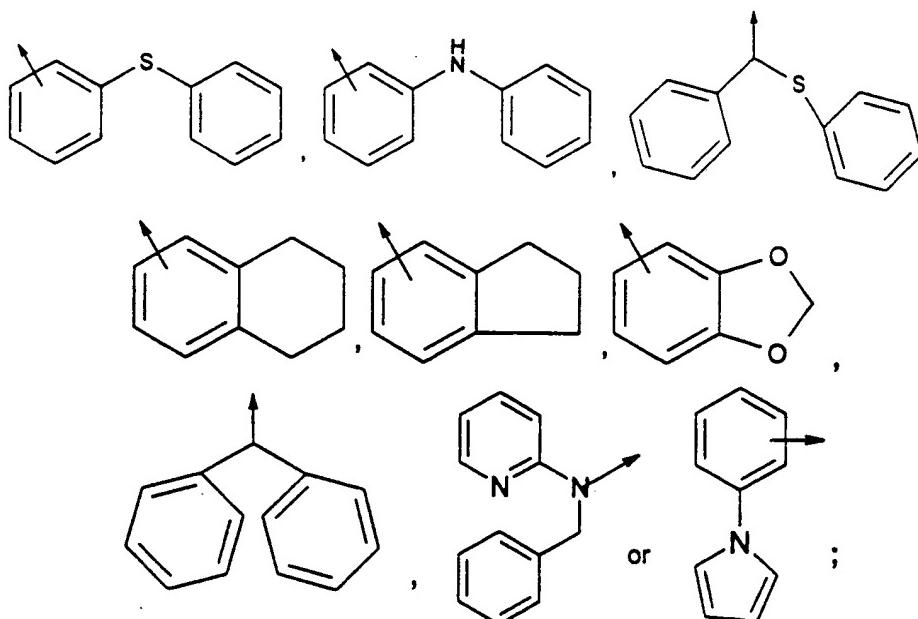
Y is O or S;

X^1 is H, (C_1 - C_{12})alkyl, $-(CH_2)_m-NH-(C_1-C_6)$ alkyl, $-(CH_2)_m-N$ -di-(C_1 - C_6)alkyl or $-(CH_2)_m$ -aryl;

10 X^2 is $-(CH_2)_m-Y^1-X^3$ or optionally substituted (C_1 - C_{12})alkyl;

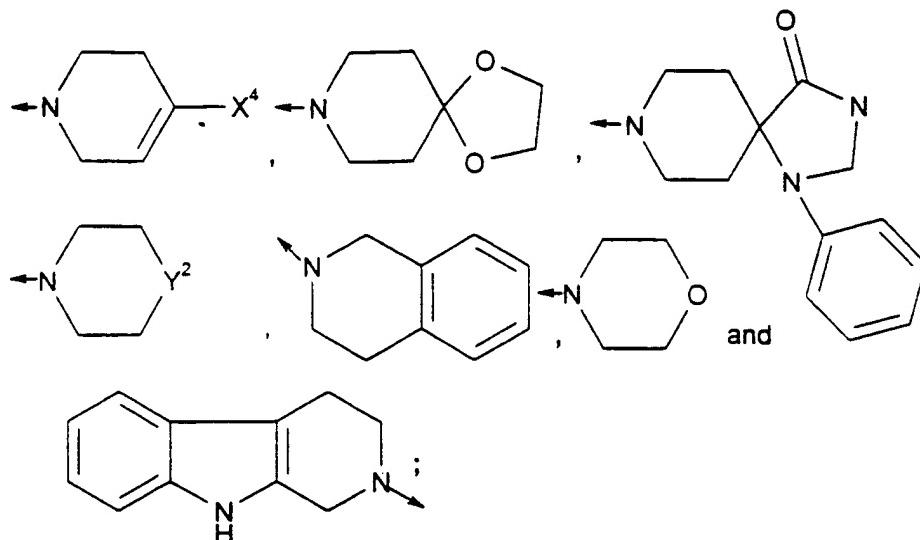
Y^1 is O, S, NH, C=O, (C_2 - C_{12})alkenyl having one or more double bonds, $-NH-CO-$, $-CO-NH-$, $-NH-CO-O-(CH_2)_m-$, $-C\equiv C-$, SO₂ or a bond;

15 X^3 is H, an optionally substituted moiety selected from the group consisting of (C_1 - C_{12})alkyl, (C_3 - C_8)cycloalkyl, (C_1 - C_{12})alkoxy, aryloxy, (C_1 - C_{12})alkylamino, N,N-di-(C_1 - C_{12})alkylamino, $-CH_2$ -di-(C_1 - C_{12})alkoxy, pyrrolidinyl, pyridinyl, thiophene, imidazolyl, piperidinyl, piperazinyl, benzothiazolyl, furanyl, indolyl, morpholino, benzo[b]furanyl, quinolinyl, isoquinolinyl, $-(CH_2)_m$ -phenyl, naphthyl, fluorenlyl, phthalamidyl, pyrimidinyl,



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or X^1 and X^2 are taken together with the nitrogen to which they are attached to form an optionally substituted moiety selected from the group consisting of thiazolyl

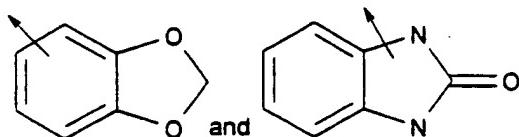


Y^2 is $CH-X^4$, $N-X^4$, $-C(X^4X^4)$, O or S ;

X^4 for each occurrence is independently $-(CH_2)_m-Y^3-X^5$;

Y^3 is $-C(O)-$, $-C(O)O-$ or a bond;

5 X^5 is hydroxy, (C_1-C_{12}) alkyl, amino, (C_1-C_{12}) alkylamino, N,N -di- (C_1-C_{12}) alkylamino, or an optionally substituted moiety selected from the group consisting of aryl, aryl(C_1-C_4)alkyl, furanyl, pyridinyl, indolyl, $-CH(phenyl)_2$,



10 R^5 is (C_1-C_{12}) alkyl, (C_0-C_6) alkyl- $C(O)-O-Z^5$, (C_0-C_6) alkyl- $C(O)-NH-(CH_2)_m-Z^3$ or optionally substituted aryl;

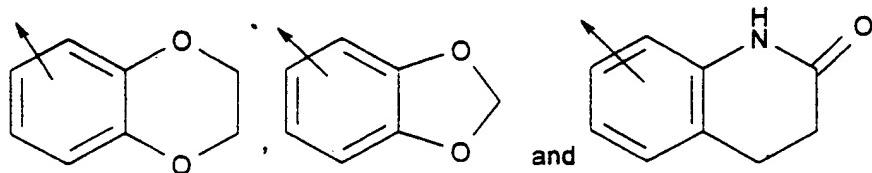
Z³ for each occurrence is independently amino, (C_1-C_{12}) alkylamino, N,N -di- (C_1-C_{12}) alkylamino, $-NH-C(O)-O-(CH_2)_m-phenyl$ $-NH-C(O)-O-(CH_2)_m-(C_1-C_6)$ alkyl or an optionally substituted moiety selected from the group consisting of imidazolyl,

15 pyridinyl, morpholino, piperidinyl, piperazinyl, pyrazolidinyl, furanyl and thiophene;

R^6 is H or (C_1-C_6) alkyl;

R^7 is (C_1-C_{12}) alkyl or $-(CH_2)_m-Z^4$;

Z^4 is an optionally substituted moiety selected from the group consisting of phenyl, naphthyl, indolyl, thiophene, benzo[b]furan, benzo[b]thiophene, isoxazolyl,



Z^5 is H, (C_1-C_{12}) alkyl, $(CH_2)_m$ -aryl;

- 5 wherein an optionally substituted moiety is optionally substituted by one or more substituents, each independently selected from the group consisting of Cl, F, Br, I, CF_3 , CN, N_3 , NO_2 , OH, SO_2NH_2 , $-OCF_3$, (C_1-C_{12}) alkoxy, $-(CH_2)_m$ -phenyl- $(X^6)_n$, -S-phenyl- $(X^6)_n$, -S- (C_1-C_{12}) alkyl, -O- $(CH_2)_m$ -phenyl- $(X^6)_n$, $-(CH_2)_m$ -C(O)-O- (C_1-C_6) alkyl, $-(CH_2)_m$ -C(O)- (C_1-C_6) alkyl, -O- $(CH_2)_m$ -NH₂, -O- $(CH_2)_m$ -NH- (C_1-C_6) alkyl, -O- $(CH_2)_m$ -N-di- $((C_1-C_6)$ alkyl) and $-(C_6-C_{12})$ alkyl- $(X^6)_n$;

10 X^6 for each occurrence is independently selected from the group consisting of hydrogen, Cl, F, Br, I, NO_2 , N_3 , CN, OH, $-CF_3$, $-OCF_3$, (C_1-C_{12}) alkyl, (C_1-C_{12}) alkoxy, $-(CH_2)_m$ -NH₂, $-(CH_2)_m$ -NH- (C_1-C_6) alkyl, $-(CH_2)_m$ -N-di- $((C_1-C_6)$ alkyl) and $-(CH_2)_m$ -phenyl;

- 15 m for each occurrence is independently 0 or an integer from 1 to 6; and

n for each occurrence is independently an integer from 1 to 5;

provided that:

(a) when R^5 is (C_1-C_{12}) alkyl, or -C(O)-O-Z⁵ and Z^5 is (C_1-C_{12}) alkyl or optionally substituted aryl; R^6 is H or (C_1-C_6) alkyl; R^7 is (C_1-C_{12}) alkyl or Z^4 and Z^4 is thiophene or

- 20 optionally substituted phenyl, then R^3 is not -C(O)-O-($CH_2)_m$ -Z where m is 0 and Z is H or (C_1-C_{12}) alkyl or where m is 1 to 6 and Z is H;

(b) when R^5 is (C_1-C_{12}) alkyl or optionally substituted phenyl; R^6 is H or (C_1-C_6) alkyl; R^7 is (C_1-C_{12}) alkyl and R^3 is -O- $(CH_2)_m$ -Z², then Z^2 is not an optionally substituted moiety selected from the group consisting of phenyl, indolyl, imidazolyl, thiophene, benzothiophene, pyridinyl, and naphthyl; and

- 25 (c) when R^5 is H or (C_1-C_{12}) alkyl; R^6 is (C_1-C_6) alkyl; R^7 is (C_1-C_{12}) alkyl; and R^3 is -O-Z² or -S-Z², then Z^2 is not an optionally substituted moiety selected from the group consisting of phenyl, naphthyl, thiophene, benzothienyl and indolyl.

2. A compound according to claim 1 wherein R^1 is H; R^2 is H; R^3 is - CH_2 -

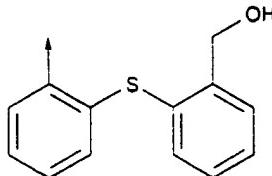
30 phenyl; R^4 is $-(CH_2)_m$ -A¹ where m in the definition of R^4 is 0; R^5 is phenyl; R^6 is H;

where A¹ is -C(=Y)-N(X¹X²);

Y is O; X¹ is H or methyl;

X^2 is $-(CH_2)_m-Y^1-X^3$;

m in the definition of X^2 is 0, 1, 2 or 3; Y^1 is a bond or O; and X^3 is N-methylpyrrolidin-2-yl, diethylamino, pyridinyl, thiophene, imidazolyl, diethoxymethyl, 1-benzyl-piperidin-4-yl, optionally substituted phenyl or



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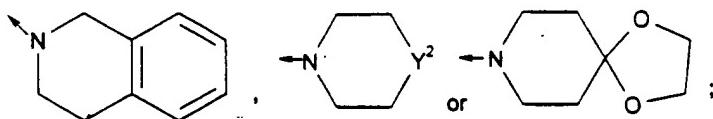
3. A compound according to claim 1 wherein R^1 is H; R^2 is H; R^3 is $-CH_2$ -phenyl; R^4 is $-(CH_2)_m-A^1$ where m in the definition of R^4 is 0; R^5 is phenyl; R^6 is H; where A^1 is $-C(=Y)-N(X^1X^2)$;

Y is O;

10 X¹ is benzyl and X² is 2-hydroxyethyl;

or X¹ and X² are taken together with the nitrogen atom to which they are

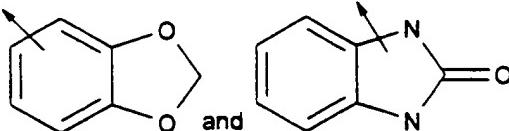
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where Y² is C-X⁴ or N-X⁴;

X⁴ is $-(CH_2)_m-Y^3-X^5$ where m in the definition of X⁴ is 0 or 1; and

15 X⁵ is selected from the group consisting of furanyl, benzyl, phenyl, amino,



4. A compound according to claim 1 wherein R^1 is H; R^2 is H; R^3 is $-CH_2$ -phenyl; R^4 is $-(CH_2)_m-A^1$ where m in the definition of R^4 is 0; R^5 is phenyl; R^6 is H;

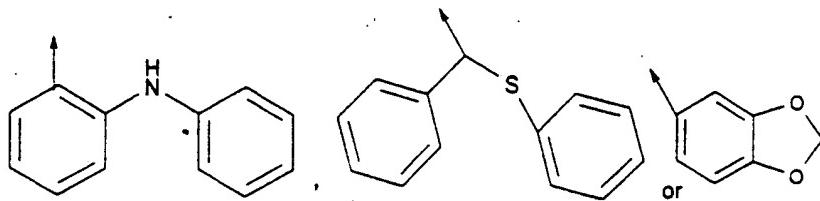
where A¹ is $-C(=Y)-X^2$;

20 Y is O; X² is $-(CH_2)_m-Y^1-X^3$;

where m in the definition of X² is 0, 1 or 2;

Y¹ is O, -NH-CO-, -CO-NH-, -NH-CO-O-CH₂-, SO₂ or a bond; and

X³ is methyl, furanyl, pentyl, phenyl, indolyl, p-NO₂-phenyl, naphthyl, fluorenyl, -CH(phenyl)₂, benzothiazolyl, phthalamidyl, N,N-dimethylamino,



5. A compound according to claim 1 wherein R¹ is H; R² is H; R³ is -CH₂-indol-3-yl; R⁴ is -(CH₂)_m-A¹ where m in the definition of R⁴ is 0; R⁵ is phenyl or t-Bu; R⁶ is H;

5 A¹ is -C(=Y)-N(X¹X²);

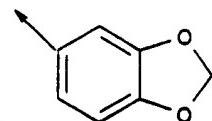
Y is O or S; X¹ is H; X² is -(CH₂)_m-Y¹-X³;

m in the definition of X² is 0, 1 or 2;

Y¹ is a bond; and X³ is phenyl, o-Cl-phenyl, m-Cl-phenyl, p-phenyloxy-phenyl, 2,6-di-isopropylphenyl, m-CF₃-phenyl, p-ethoxycarbonyl-phenyl, 2,4-difluorophenyl, m-NO₂-phenyl, p-benzylxyphenyl, o-isopropylphenyl, n-hexyl, 4-

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morpholino, naphthyl or



6. A compound according to claim 1 wherein R¹ is H; R² is H; R³ is -CH₂-indol-3-yl; R⁴ is -(CH₂)_m-A¹ where m in the definition of R⁴ is 0; R⁵ is phenyl or t-Bu; R⁶ is H;

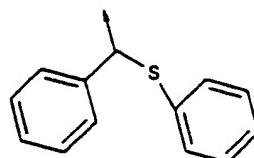
15 where A¹ is -C(=Y)-X²;

Y is O; X² is -(CH₂)_m-Y¹-X³;

where m in the definition of X² is 0, 1 or 2;

Y¹ is O, -CO-NH-, -NH-CO-O-CH₂-or a bond; and X³ is methyl, 3-pentyl, phenyl, p-NO₂-phenyl, phthalamidyl, N,N-dimethylamino, p-aminophenyl, fluorenyl or

20



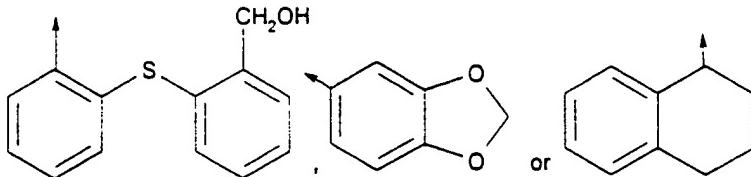
7. A compound according to claim 1 wherein R¹ is H; R² is H; R³ is -CH₂-indol-3-yl; R⁴ is -(CH₂)_m-A¹ where m in the definition of R⁴ is 0; R⁵ is phenyl or t-Bu; R⁶ is H;

where A¹ is -C(=Y)-N(X¹X²);

25 Y is O; X¹ is hydrogen; X² is -(CH₂)_m-Y¹-X³;

where m in the definition of X² is 0, 1, 2 or 3;

Y^1 is O, or a bond; and X^3 is cyclopentyl, 4-OH-butyl, N,N-diethylamino, N-methyl-pyrrolidin-3-yl, -CH(ethoxy)₂, phenyl, p-SO₂NH₂-phenyl p-OH-phenyl, o-CF₃-phenyl, p-Cl-phenyl, -CH(phenyl)₂,



5 8. A compound according to claim 1 wherein R¹ is H; R² is H; R³ is -CH₂-indol-3-yl; R⁴ is -(CH₂)_m-A¹ where m in the definition of R⁴ is 0; R⁵ is phenyl or t-Bu; R⁶ is H;

where A¹ is -C(=Y)-X²;

Y is O; X² is -(CH₂)_m-Y¹-X³;

10 where m in the definition of X² is 0, 1, 2 or 3;

Y¹ is -NH-CO, -C=C-, -C≡C- or a bond; and X³ is t-butyl, 1-methylcarbonyl-piperidin-4-yl, phenyl, p-Cl-phenyl, m-CF₃-phenyl, 4-nitro-naphthyl, p-methoxy-phenyl, m-(phenylethyl)-phenyl, indol-3-yl or p-aminophenyl.

9. A compound according to claim 1 wherein R¹ is H; R² is H; R³ is -CH₂-indol-3-yl, -(CH₂)₄-NH-CO-O-t-Bu or -(CH₂)₄-NH₂; R⁴ is -(CH₂)_m-A¹ where m in the definition of R⁴ is 0; R⁵ is phenyl, o-methoxyphenyl, p-Br-phenyl, p-nitro-phenyl or p-N,N-diethylamino-phenyl; R⁶ is H;

where A¹ is -C(=Y)-N(X¹X²);

Y is O; X¹ is H; X² is -(CH₂)_m-Y¹-X³;

20 where m in the definition of X² is 0;

Y¹ is a bond; and X³ is o-Br-phenyl, m-Br-phenyl, p-Br-phenyl, o-Cl-phenyl, m-Cl-phenyl, p-Cl-phenyl, o-nitro-phenyl, m-nitro-phenyl, p-nitro-phenyl, o-CF₃-phenyl, m-CF₃-phenyl, p-CF₃-phenyl, p-F-phenyl, 2,4-di-F-phenyl, 2,5-di-F-phenyl, 2,5-di-methoxy-phenyl, m-OMe-phenyl, p-OMe-phenyl, 2-CF₃-4-Cl-phenyl or 3-nitro-4-F-phenyl.

25 10. A compound according to claim 1 wherein R¹ is H; R² is H; R³ is -CH₂-indol-3-yl, -(CH₂)₄-NH-CO-O-t-Bu or -(CH₂)₄-NH₂; R⁴ is -(CH₂)_m-A¹ where m in the definition of R⁴ is 0; R⁵ is phenyl, o-methoxyphenyl, p-methoxyphenyl, p-Br-phenyl, p-nitro-phenyl or p-N,N-diethylamino-phenyl; R⁶ is H;

30 where A¹ is -C(=Y)-X²;

Y is O; X² is -(CH₂)_m-Y¹-X³;

where m in the definition of X² is 1;

Y¹ is a bond; and X³ is phenyl, o-Br-phenyl, m-Br-phenyl, p-Br-phenyl, o-Cl-phenyl, m-Cl-phenyl, p-Cl-phenyl, o-nitro-phenyl, m-nitro-phenyl, p-nitro-phenyl, o-CF₃-phenyl, m-CF₃-phenyl, p-CF₃-phenyl, o-F-phenyl, m-F-phenyl, p-F-phenyl, N,N-di-methylamino-phenyl, o-OMe-phenyl, m-OMe-phenyl, p-OMe-phenyl, 3,4-di-Cl-phenyl, 3,4,5-tri-OMe-phenyl, p-Me-phenyl, p-OH-phenyl or 2,4-di-F-phenyl.

11. A compound according to claim 9 wherein R⁵ is phenyl and R³ is -(CH₂)-indol-3-yl and the stereochemistry at the carbon to which R³ is attached is the R-configuration.

12. A compound according to claim 10 wherein R⁵ is phenyl and R³ is -(CH₂)-indol-3-yl and the stereochemistry at the carbon to which R³ is attached is the R-configuration.

13. A compound according to claim 10 wherein R⁵ is o-OMe-phenyl and R³ is -(CH₂)-indol-3-yl and the stereochemistry at the carbon to which R³ is attached is the R-configuration.

14. A compound according to claim 10 wherein R⁵ is o-OMe-phenyl and R³ is -(CH₂)-indol-3-yl and the stereochemistry at the carbon to which R³ is attached is the S-configuration.

15. A compound according to claim 1 wherein R¹ is H; R² is H; R³ is -(CH₂)₄-NH-CO-O-t-Bu or -(CH₂)₄-NH₂; R⁴ is -(CH₂)_m-A¹ where m in the definition of R⁴ is 0; R⁵ is phenyl; R⁶ is H;

where A¹ is -C(=Y)-X²;

Y is O; X² is -(CH₂)_m-Y¹-X³;

where m in the definition of X² is 0, 1 or 2;

25 Y¹ is S, SO₂ or a bond; and X³ is phenyl, 3,4-di-Cl-phenyl, 3,4,5-tri-OMe-phenyl, p-Me-phenyl, p-OH-phenyl, 2,4-di-F-phenyl, 2-furanyl, 2-pyridinyl, 3-pyridinyl, naphthyl, 2-quinolinyl, 3-quinolinyl, 4-quinolinyl, 8-quinolinyl, 1-isoquinolinyl, 2-thiophene or 2-pyrimidinyl.

16. A compound according to claim 1 wherein R¹ is H; R² is H; R³ is -(CH₂)₄-NH-CO-O-t-Bu or -(CH₂)₄-NH₂; R⁴ is -(CH₂)_m-A¹ where m in the definition of R⁴ is 0; R⁵ is phenyl; R⁶ is H;

where A¹ is -C(=Y)-X²;

Y is O; X² is -(CH₂)_m-Y¹-X³;

where m in the definition of X² is 0, 1, 2 or 3;

Y^1 is a bond; and X^3 is 5-indolyl, 3-indolyl, 4-indolyl, 2-indolyl, 5-OMe-indol-3-yl, 5-OMe-indol-2-yl, 5-OH-indol-2-yl, 5-OH-indol-3-yl, 5-Br-indol-3-yl, 2-Me-indol-3-yl, 2-benzothiophene, 3-benzothiophene or 2-benzofuran.

17. A compound according to claim 1 wherein R^1 is H; R^2 is H; R^3 is $-(CH_2)_m$ -indol-3-yl, $-(CH_2)_4$ -NH-CO-O-t-Bu or $-(CH_2)_4$ -NH₂; R^4 is $-(CH_2)_m$ -A¹ where m in the definition of R^4 is 0; R^5 is phenyl, o-OMe-phenyl or p-OMe-phenyl; R^6 is H; where A¹ is X²;

X^2 is $-(CH_2)_m$ -Y¹-X³;

where m in the definition of X^2 is 1, 2 or 3;

10 Y^1 is S, O or a bond; and X^3 is phenyl, o-OH-phenyl, p-OH-phenyl, o-F-phenyl, m-F-phenyl, p-F-phenyl, o-CF₃-phenyl, o-OMe-phenyl, m-OMe-phenyl, o-nitro-phenyl, p-nitro-phenyl, 3,4-di-Cl-phenyl, 2-nitro-3-OMe-phenyl, o-Br-phenyl, m-Br-phenyl, p-Br-phenyl, 2-thiophene, 3,4,5-tri-OMe-phenyl, p-N,N-dimethylamino-phenyl, p-OCF₃-phenyl, p-(3-(N,N-dimethylamino)propoxy)phenyl, 3-F-4-OMe-phenyl, 2-pyridinyl, 3-pyridinyl, 4-pyridinyl, 2-Cl-quinolin-3-yl, 2-quinolinly, methyl, n-butyl, n-pentyl, n-hexyl, 3,3-dimethyl-butyl, benzyl, cyclohexyl or p-t-Bu-phenyl.

15 18. A compound according to claim 1 wherein R^1 is H; R^2 is H; R^3 is $-(CH_2)_4$ -NH-CO-O-t-Bu or $-(CH_2)_4$ -NH₂; R^4 is $-(CH_2)_m$ -A¹ where m in the definition of R^4 is 0; R^5 is phenyl; R^6 is H;

where A¹ is X²;

X^2 is $-(CH_2)_m$ -Y¹-X³;

where m in the definition of X^2 is 1, 2 or 3;

20 Y^1 is O or a bond; and X^3 is phenyl, o-OH-phenyl, p-OH-phenyl, o-F-phenyl, m-F-phenyl, p-F-phenyl, o-CF₃-phenyl, o-OMe-phenyl, m-OMe-phenyl, p-OMe-phenyl, o-nitro-phenyl, p-nitro-phenyl, 3,4-di-Cl-phenyl, 2-nitro-3-OMe-phenyl, o-Br-phenyl, m-Br-phenyl, p-Br-phenyl, p-phenyl-phenyl, 2-thiophene, 3,4,5-tri-OMe-phenyl, p-N,N-dimethylamino-phenyl, p-benzyloxy-phenyl, p-OCF₃-phenyl, p-(3-(N,N-dimethylamino)propoxy)phenyl, 3-F-4-OMe-phenyl, 2-pyridinyl, 3-pyridinyl, 4-pyridinyl, 2-Cl-quinolin-3-yl, 2-quinolinly, 3-indolyl, 6-methoxycarbonyl-indol-3-yl, 1-methyl-indol-3-yl, 2-methyl-indol-3-yl, methyl, n-butyl, n-pentyl, n-hexyl, 3,3-dimethyl-butyl, benzyl, cyclohexyl or p-t-Bu-phenyl.

25 19. A compound according to claim 1 wherein R^1 is $-(CH_2)$ -CO-Z¹; R^2 is H; R^3 is $-(CH_2)_4$ -NH-CO-O-t-Bu, $-(CH_2)_4$ -NH-CO-O-benzyl, $-(CH_2)$ -phenyl or $-(CH_2)$ -indol-3-yl; R^4 is $-(CH_2)_m$ -A¹ where m in the definition of R^4 is 0; R^5 is phenyl; R^6 is H;

where Z^1 is ethyl, phenyl, p-OMe-phenyl, p-phenyl-phenyl, p-Cl-phenyl, p-Br-phenyl, p-N₃-phenyl, p-F-phenyl, m-nitro-phenyl, p-nitro-phenyl, p-CN-phenyl, 2,5-di-OMe-phenyl, 3,4-di-Cl-phenyl, N,N-dimethylamino-phenyl, 3-methyl-4-Cl-phenyl or naphthyl;

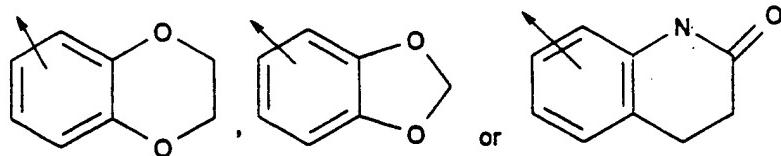
5 A¹ is -C(=Y)-X²;

Y is O; X² is -(CH₂)_m-Y¹-X³;

where m in the definition of X² is 0;

Y¹ is O; and X³ is t-Bu.

20. A compound according to claim 1 wherein R¹ is -(CH₂)-CO-(CH₂)_m-Z¹
- 10 where m in the definition of R¹ is 0, 1 or 2; R² is H; R³ is -(CH₂)-indol-3-yl or -(CH₂)₄-NH-CO-O-t-Bu; R⁴ is H or -(CH₂)_m-A¹ where m in the definition of R⁴ is 0; R⁵ is phenyl, o-OMe-phenyl, p-nitro-phenyl, p-Br-phenyl, t-Bu, -CH(CH₃)₂-CO-NH-(CH₂)₂-CO-O-t-Bu, -CH(CH₃)₂-CO-NH-(CH₂)₃-imidazol-1-yl, -CH(CH₃)₂-CO-NH-(CH₂)₂-pyridin-2-yl, -CH(CH₃)₂-CO-NH-(CH₂)₃-4-morpholino, -CH(CH₃)₂-CO-NH-(CH₂)₂-pyridin-4-yl or 15 -CH(CH₃)₂-CO-NH-(CH₂)₂-N,N-diethylamino; R⁶ is H;
- where Z¹ is ethyl, propyl, phenyl, p-OMe-phenyl, p-Cl-phenyl, p-Br-phenyl, p-F-phenyl, p-nitro-phenyl, m-nitro-phenyl, p-CN-phenyl, p-N₃-phenyl, p-phenyl-phenyl, 3-Me-4-Cl-phenyl, p-N,N-diethylamino-phenyl, 2,5-di-OMe-phenyl, 3,4-di-Cl-phenyl, 3,4-di-F-phenyl, p-OCF₃-phenyl, p-benzyloxy-phenyl, p-pentyl-phenyl, 3,4,5-tri-OMe-phenyl, 3-nitro-4-Cl-phenyl, 3-Cl-4-nitro-phenyl, 3-methyl-5-chloro-benzothiophen-2-yl, 2-benzofuranyl, 3-benzothiophene, 3-phenyl-isoxazol-5-yl, 3-(2,4-di-Cl-phenyl)-isoxazol-5-yl, 3-indolyl, 5-Br-thiophen-2-yl, naphthyl,



A¹ is -C(=Y)-X²;

25 Y is O; X² is -(CH₂)_m-Y¹-X³;

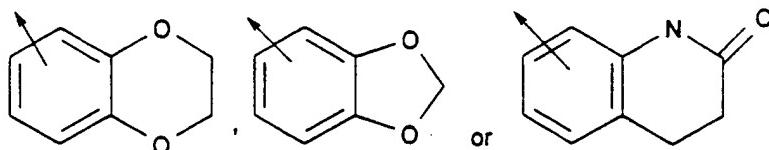
where m in the definition of X² is 0;

Y¹ is O; and X³ is t-Bu.

21. A compound according to claim 1 wherein R¹ and R² are taken together to form a compound of formula (Ib) or (Ic);
- 30 R³ is -(CH₂)-indol-3-yl, -(CH₂)-phenyl, -(CH₂)₄-NH-CO-O-benzyl or -(CH₂)₄-NH₂; R⁵ is phenyl, o-OMe-phenyl, p-OMe-phenyl, p-Br-phenyl, p-nitro-phenyl, t-Bu or -CH(CH₃)₂-CO-NH-(CH₂)₂-NH₂; R⁶ is H;

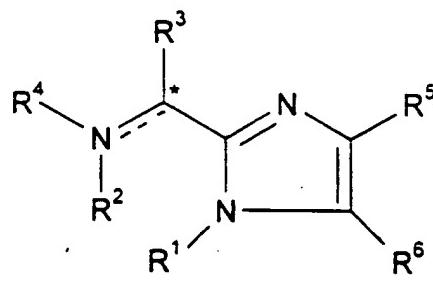
R⁷ is ethyl, propyl, phenyl, p-OMe-phenyl, p-Cl-phenyl, p-Br-phenyl, p-F-phenyl, p-nitro-phenyl, m-nitro-phenyl, p-CN-phenyl, p-N₃-phenyl, p-phenyl-phenyl, 3-Me-4-Cl-phenyl, p-N,N-diethylamino-phenyl, 2,5-di-OMe-phenyl, 3,4-di-Cl-phenyl, 3,4-di-F-phenyl, p-OCF₃-phenyl, p-benzyloxy-phenyl, p-pentyl-phenyl, 3,4,5-tri-OMe-phenyl, 5-nitro-4-Cl-phenyl, 3-Cl-4-nitro-phenyl, 3-methyl-5-chloro-benzothiophen-2-yl, 2-bezofuranyl, 3-benzothiophene, 3-phenyl-isoxazol-5-yl, 3-(2,4-di-Cl-phenyl)-isoxazol-5-yl, 3-indolyl, 5-Br-thiophen-2-yl, naphthyl,

5



22. A compound of the formula (II),

10



(II)

the racemic-diastereomeric mixtures and optical isomers of said compound of formula (II), the pharmaceutically-acceptable salts or prodrugs thereof or a pharmaceutically acceptable salt of said prodrug,

15 wherein

— represents an optional bond;

R¹ is H, -(CH₂)_m-C(O)-(CH₂)_m-Z¹, -(CH₂)_m-Z¹, -(CH₂)_m-O-Z¹ or -(C₀-C₆)alkyl-C(O)-NH-(CH₂)_m-Z³;

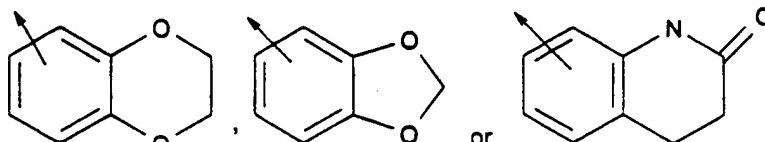
Z¹ is an optionally substituted moiety selected from the group consisting of (C₁-C₁₂)alkyl, benzo[b]thiophene, phenyl, naphthyl, benzo[b]furanyl, thiophene,

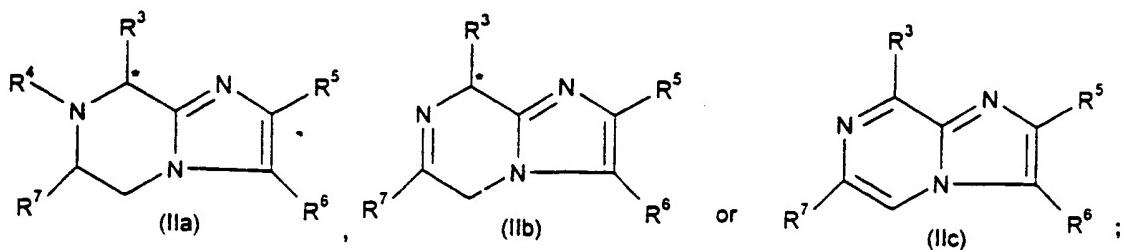
20

isoxazolyl, indolyl,

R² is H or (C₁-C₆)alkyl;

or R¹ and R² are taken together with the nitrogen atoms to which they are attached to form a compound of formula (IIa), (IIb) or (IIc),





R³ is -(CH₂)_m-E-(CH₂)_n-Z²;

E is O, S, -C(O)-, -C(O)-O-, -NH-C(O)-O-, -N(C₁-C₆)alkyl-C(O)-O- or a bond;

5 Z² is H, (C₁-C₁₂)alkyl, amino, (C₁-C₁₂)alkylamino, N,N-di-(C₁-C₁₂)alkylamino, (C₁-C₁₂)alkylguanidino, or an optionally substituted moiety selected from the group consisting of phenyl, indolyl, imidazolyl, thiophene, benzothiophene, pyridinyl and naphthyl;

R⁴ is H or -(CH₂)_m-A¹;

10 A¹ is -C(=Y)-N(X¹X²), -C(=Y)-X², -C(=NH)-X² or X²;

Y is O or S;

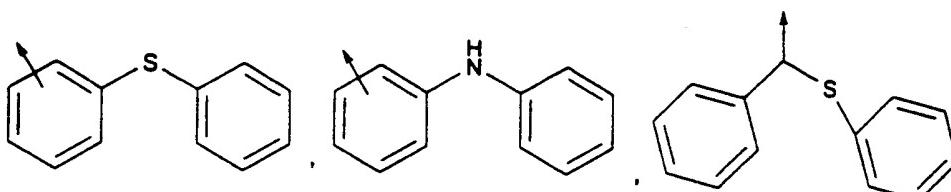
X¹ is H, (C₁-C₁₂)alkyl, -(CH₂)_m-NH-(C₁-C₆)alkyl, -(CH₂)_m-N-di-(C₁-C₆)alkyl or -(CH₂)_m-aryl;

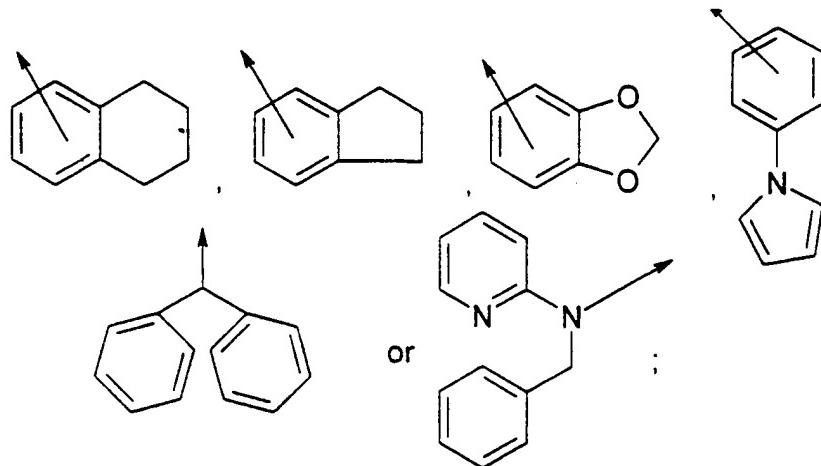
X² is -(CH₂)_m-Y¹-X³ or optionally substituted (C₁-C₁₂)alkyl;

15 Y¹ is O, S, NH, C=O, (C₂-C₁₂)alkenyl having one or more double bonds, -NH-CO-, -CO-NH-, -NH-CO-O-(CH₂)_m-, -C≡C-, SO₂ or a bond;

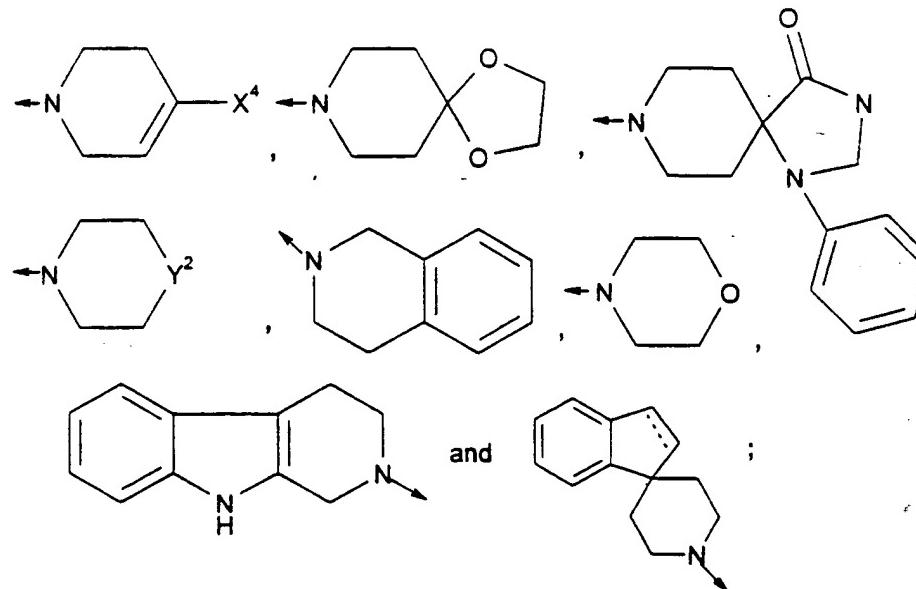
X³ is H, an optionally substituted moiety selected from the group consisting of (C₁-C₁₂)alkyl, (C₃-C₈)cycloalkyl, (C₁-C₁₂)alkoxy, aryloxy, (C₁-C₁₂)alkylamino, N,N-di-(C₁-C₁₂)alkylamino, -CH-di-(C₁-C₁₂)alkoxy, pyrrolidinyl, pyridinyl,

20 thiophene, imidazolyl, piperidinyl, piperazinyl, benzothiazolyl, furanyl, indolyl, morpholino, benzo[b]furanyl, quinolinyl, isoquinolinyl, -(CH₂)_m-phenyl, naphthyl, fluorenlyl, phthalamidyl, pyrimidinyl,





or X^1 and X^2 are taken together with the nitrogen to which they are attached to form an optionally substituted moiety selected from the group consisting of thiazolyl,



5

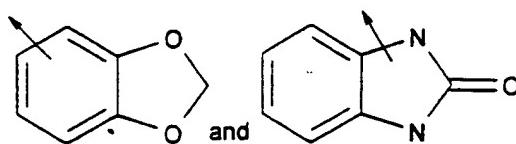
Y^2 is $\text{CH}-X^4$, $\text{N}-X^4$, $-\text{C}(X^4X^4)$, O or S ;

X^4 for each occurrence is independently H or $-(\text{CH}_2)_m-Y^3-X^5$;

Y^3 is $-\text{C}(\text{O})-$, $-\text{C}(\text{O})\text{O}-$ or a bond;

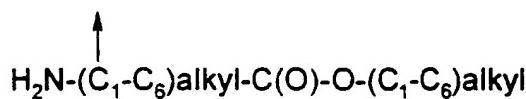
10

X^5 is hydroxy, $(\text{C}_1-\text{C}_{12})$ alkyl, amino, $(\text{C}_1-\text{C}_{12})$ alkylamino, N,N -di- $(\text{C}_1-\text{C}_{12})$ alkylamino, or an optionally substituted moiety selected from the group consisting of aryl, aryl(C_1-C_4)alkyl, furanyl, pyridinyl, indolyl, piperidinyl, $-\text{CH}(\text{phenyl})_2$,

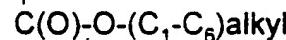


R^5 is (C_1-C_{12}) alkyl, (C_0-C_6) alkyl-C(O)-O-Z⁵, (C_0-C_6) alkyl-C(O)-NH-(CH₂)_m-Z³ or optionally substituted aryl;

Z^3 for each occurrence is independently amino, (C_1-C_{12}) alkylamino, amino(C₁-C₁₂)alkyl, (C_5-C_7) cycloalkylamino, amino(C₅-C₇)cycloalkyl, N-(C₁-C₁₂)alkylamino, N,N-di-(C₁-C₁₂)alkylamino, -NH-C(O)-O-(CH₂)_m-phenyl, -NH-C(O)-O-(CH₂)_m-(C₁-C₆)alkyl, -CH(phenyl)₂, (C_5-C_7) cycloalkyl,



optionally-substituted phenyl-(CH₂)_m-O-C(O)-NH-(C₁-C₆)alkyl →

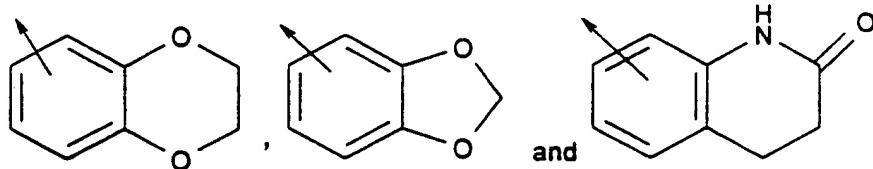


10 or an optionally substituted moiety selected from the group consisting of imidazolyl, pyridinyl, morpholino, piperidinyl, piperazinyl, pyrazolidinyl, furanyl, phenyl, indolyl and thiophene, provided that when m is 0 in the formula for R^5 then Z^3 is not -NH-C(O)-O-(CH₂)_m-phenyl or -NH-C(O)-O-(CH₂)_m-(C₁-C₆)alkyl;

R^6 is H or (C_1-C_6) alkyl;

15 R^7 is (C_1-C_{12}) alkyl or -(CH₂)_m-Z⁴;

Z^4 is an optionally substituted moiety selected from the group consisting of phenyl, naphthyl, indolyl, thiophene, benzo[b]furan, benzo[b]thiophene, isoxazolyl,



Z^5 is H, (C_1-C_{12}) alkyl, or -(CH₂)_m-aryl;

20 wherein an optionally substituted moiety is optionally substituted by one or more substituents, each independently selected from the group consisting of Cl, F, Br, I, CF₃, CN, N₃, NO₂, OH, SO₂NH₂, -OCF₃, (C_1-C_{12}) alkoxy, -(CH₂)_m-phenyl-(X⁶)_n, -S-phenyl-(X⁶)_n, -S-(C₁-C₁₂)alkyl, -O-(CH₂)_m-phenyl-(X⁶)_n, -(CH₂)_m-C(O)-O-(C₁-C₆)alkyl, -(CH₂)_m-C(O)-(C₁-C₆)alkyl, -O-(CH₂)_m-NH₂, -O-(CH₂)_m-NH-(C₁-C₆)alkyl, -O-(CH₂)_m-N-di-(C₁-C₆)alkyl), -(C₀-C₁₂)alkyl-(X⁶)_n and -(CH₂)_m-phenyl-X⁷;

X^6 for each occurrence is independently selected from the group consisting of hydrogen, Cl, F, Br, I, NO₂, N₃, CN, OH, -CF₃, -OCF₃, (C₁-C₁₂)alkyl, (C₁-C₁₂)alkoxy, -(CH₂)_m-NH₂, -(CH₂)_m-NH-(C₁-C₆)alkyl, -(CH₂)_m-N-di-((C₁-C₆)alkyl) and -(CH₂)_m-phnyl;

5 X⁷ is -NH-C(=NH-HI)-X⁸, wherein X⁸ is thiophene, (C₁-C₆)alkyl or phenyl;

m for each occurrence is independently 0 or an integer from 1 to 6; and

n for each occurrence is independently an integer from 1 to 5;

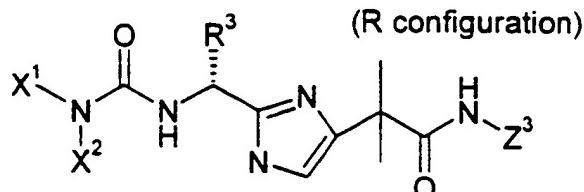
provided that:

10 (a) when R⁵ is (C₁-C₁₂)alkyl, or -C(O)-O-Z⁵ and Z⁵ is (C₁-C₁₂)alkyl or optionally substituted aryl; R⁶ is H or (C₁-C₆)alkyl; R⁷ is (C₁-C₁₂)alkyl or Z⁴ and Z⁴ is thiophene or optionally substituted phenyl, then R³ is not -C(O)-O-(CH₂)_m-Z where m is 0 and Z is H or (C₁-C₁₂)alkyl or where m is 1 to 6 and Z is H;

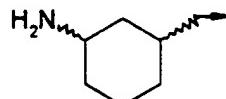
15 (b) when R⁵ is (C₁-C₁₂)alkyl or optionally substituted phenyl; R⁶ is H or (C₁-C₆)alkyl; R⁷ is (C₁-C₁₂)alkyl and R³ is -O-(CH₂)-Z², then Z² is not an optionally substituted moiety selected from the group consisting of phenyl, indolyl, imidazolyl, thiophene, benzothiophene, pyridinyl, and naphthyl; and

20 (c) when R⁵ is H or (C₁-C₁₂)alkyl; R⁶ is (C₁-C₆)alkyl; R⁷ is (C₁-C₁₂)alkyl; and R³ is -O-Z² or -S-Z², then Z² is not an optionally substituted moiety selected from the group consisting of phenyl, naphthyl, thiophene, benzothienyl and indolyl.

23. A compound according to claim 22 of the formula



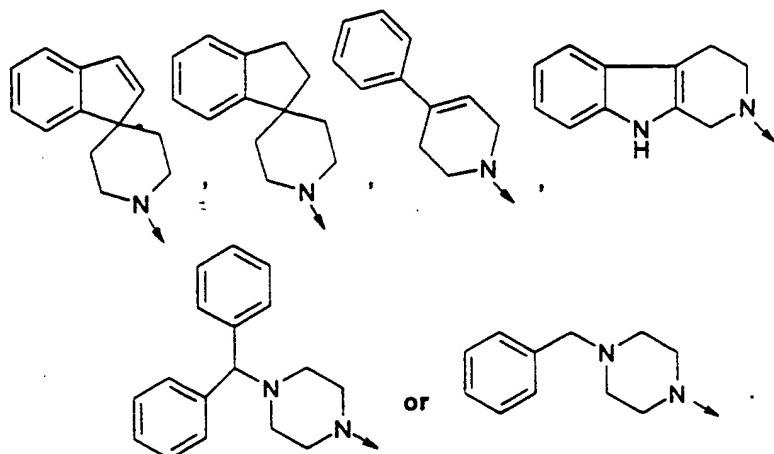
wherein



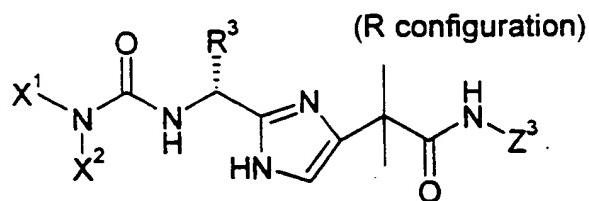
Z³ is -CH₂-NH₂, -(CH₂)₂-NH₂, -(CH₂)₃-NH₂ or

X¹ is -(CH₂)₂-N(CH₃)₂ and X² is benzyl; or

25 X¹ and X² are taken together with the nitrogen atom to which they are attached, to form

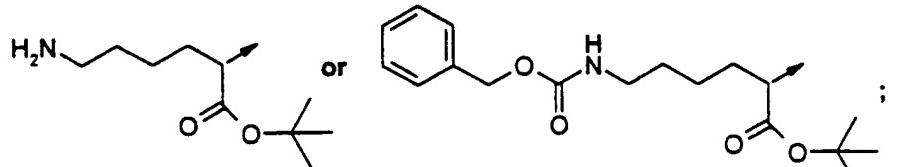


24. A compound according to claim 22 of the formula:



5 wherein

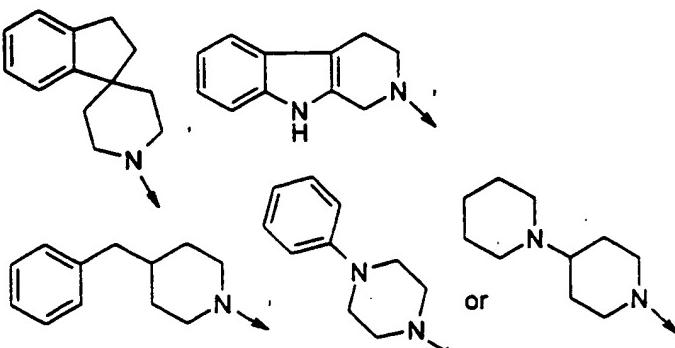
Z^3 is



and

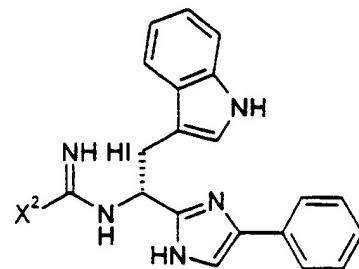
X^1 is $-(\text{CH}_2)_2-\text{N}(\text{CH}_3)_2$ and X^2 is benzyl; or

X^1 and X^2 are taken together with the nitrogen atom to which they are attached, to form



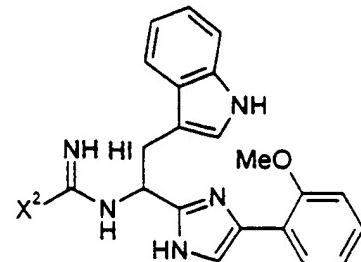
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25. A compound according to claim 22 of the formula



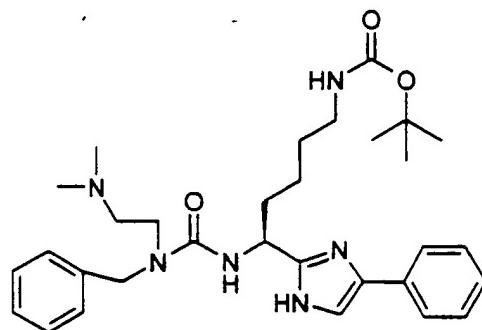
wherein X^2 is *p*-chloro-phenyl, *p*-methoxy-phenyl, 2,4-difluoro-phenyl or thiienyl.

26. A compound according to claim 22 of the formula

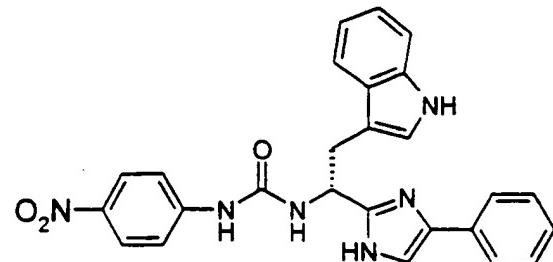


5 wherein X^2 is *p*-chloro-phenyl, *p*-methoxy-phenyl, phenyl or thiienyl.

27. A compound according to claim 22 of the formula

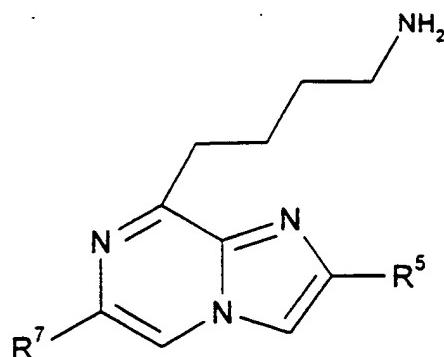


28. A compound according to claim 22 of the formula

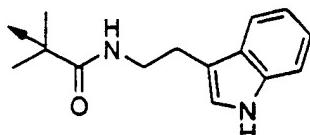


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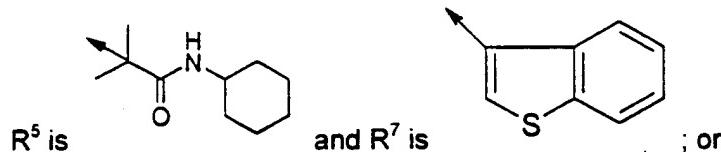
29. A compound according to claim 22 of the formula



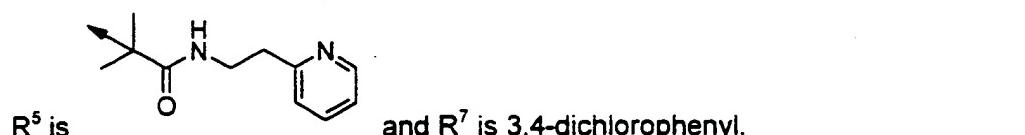
wherein



R^5 is and R^7 is *m*-nitro-phenyl or 2-phenyl-ethyl; or



5 R^5 is and R^7 is 3,4-dichlorophenyl or



R^5 is and R^7 is 3,4-dichlorophenyl.

30. A pharmaceutical composition comprising a compound according to claim 1 or a pharmaceutically acceptable salt thereof and a pharmaceutically acceptable carrier.

10 31. A method of eliciting an agonist effect from one or more of a somatostatin subtype receptor in a subject in need thereof, which comprises administering a compound according to claim 1 or a pharmaceutically acceptable salt thereof to said subject.

15 32. A method of eliciting an antagonist effect from one or more of a somatostatin subtype receptor in a subject in need thereof, which comprises administering a compound according to claim 1 or a pharmaceutically acceptable salt thereof to said subject.

33. A method of binding one or more of a somatostatin subtype receptor in a subject in need thereof, which comprises administering a compound according to claim 1 or a pharmaceutically acceptable salt thereof to said subject.

34. A method of treating acromegaly, restenosis, Crohn's disease, systemic sclerosis, external and internal pancreatic pseudocysts and ascites, VIPoma, nesidioblastosis, hyperinsulinism, gastrinoma, Zollinger-Ellison Syndrome, diarrhea, AIDS related diarrhea, chemotherapy related diarrhea, scleroderma, Irritable Bowel Syndrome, pancreatitis, small bowel obstruction, gastroesophageal reflux, duodenogastric reflux, Cushing's Syndrome, gonadotropinoma, hyperparathyroidism, Graves' Disease, diabetic neuropathy, Paget's disease, polycystic ovary disease, cancer, cancer cachexia, hypotension, postprandial hypotension, panic attacks, GH secreting adenomas or TSH secreting adenomas, in a subject in need thereof, which comprises administering a compound according to claim 1 or a pharmaceutically acceptable salt thereof to said subject.

35. A method of treating diabetes mellitus, hyperlipidemia, insulin insensitivity, Syndrome X, angiopathy, proliferative retinopathy, dawn phenomenon, Nephropathy, peptic ulcers, enterocutaneous and pancreaticocutaneous fistula, Dumping syndrome, watery diarrhea syndrome, acute or chronic pancreatitis, gastrointestinal hormone secreting tumors, angiogenesis, inflammatory disorders, chronic allograft rejection, angioplasty, graft vessel bleeding or gastrointestinal bleeding in a subject in need thereof, which comprises administering a compound according to claim 1 or a pharmaceutically acceptable salt thereof to said subject.

36. A method of inhibiting the proliferation of helicobacter pylori in a subject in need thereof, which comprises administering a compound according to claim 1 or a pharmaceutically acceptable salt thereof, to said subject.

37. A pharmaceutical composition comprising a compound according to claim 22 or a pharmaceutically acceptable salt thereof and a pharmaceutically acceptable carrier.

38. A method of eliciting an agonist effect from one or more of a somatostatin subtype receptor in a subject in need thereof, which comprises administering a compound according to claim 22 or a pharmaceutically acceptable salt thereof to said subject.

39. A method of eliciting an antagonist effect from one or more of a somatostatin subtype receptor in a subject in need thereof, which comprises

administering a compound according to claim 22 or a pharmaceutically acceptable salt thereof to said subject.

40. A method of binding one or more somatostatin subtype receptor in a subject in need thereof, which comprises administering a compound according to claim 22 or a pharmaceutically acceptable salt thereof to said subject.

41. A method of treating acromegaly, restenosis, Crohn's disease, systemic sclerosis, external and internal pancreatic pseudocysts and ascites, VIPoma, nesidioblastosis, hyperinsulinism, gastrinoma, Zollinger-Ellison Syndrome, diarrhea, AIDS related diarrhea, chemotherapy related diarrhea, scleroderma, Irritable Bowel Syndrome, pancreatitis, small bowel obstruction, gastroesophageal reflux, duodenogastric reflux, Cushing's Syndrome, gonadotropinoma, hyperparathyroidism, Graves' Disease, diabetic neuropathy, Paget's disease, polycystic ovary disease, cancer, cancer cachexia, hypotension, postprandial hypotension, panic attacks, GH secreting adenomas or TSH secreting adenomas, in a subject in need thereof, which comprises administering a compound according to claim 22 or a pharmaceutically acceptable salt thereof to said subject.

42. A method of treating diabetes mellitus, hypertension, insulin insensitivity, Syndrome X, angiopathy, proliferative retinopathy, dawn phenomenon, Nephropathy, peptic ulcers, enterocutaneous and pancreaticocutaneous fistula, Dumping syndrome, watery diarrhea syndrome, acute or chronic pancreatitis, gastrointestinal hormone secreting tumors, angiogenesis, inflammatory disorders, chronic allograft rejection, angioplasty, graft vessel bleeding or gastrointestinal bleeding in a subject in need thereof, which comprises administering a compound according to claim 22 or a pharmaceutically acceptable salt thereof to said subject.

43. A method of inhibiting the proliferation of helicobacter pylori in a subject in need thereof, which comprises administering a compound according to claim 22 or a pharmaceutically acceptable salt thereof, to said subject.